

10/ 725,267

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal202txn

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 SEP 09 ACD predicted properties enhanced in REGISTRY/ZREGISTRY  
NEWS 4 OCT 03 MATHDI removed from STN  
NEWS 5 OCT 04 CA/CAPLUS-Canadian Intellectual Property Office (CIPO) added  
to core patent offices  
NEWS 6 OCT 13 New CAS Information Use Policies Effective October 17, 2005  
NEWS 7 OCT 17 STN(R) AnaVist(TM), Version 1.01, allows the export/download  
of CAPLUS documents for use in third-party analysis and  
visualization tools  
NEWS 8 OCT 27 Free KWIC format extended in full-text databases  
NEWS 9 OCT 27 DIOGENES content streamlined  
NEWS 10 OCT 27 EPFULL enhanced with additional content  
NEWS 11 NOV 14 CA/CAPLUS - Expanded coverage of German academic research  
NEWS 12 NOV 30 REGISTRY/ZREGISTRY on STN(R) enhanced with experimental  
spectral property data

NEWS EXPRESS NOVEMBER 18 CURRENT VERSION FOR WINDOWS IS V8.01,  
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005.  
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT  
<http://download.cas.org/express/v8.0-Discover/>

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 11:03:21 ON 01 DEC 2005

=> file reg  
COST IN U.S. DOLLARS

SINCE FILE TOTAL  
ENTRY SESSION

10/ 725,267

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 11:03:30 ON 01 DEC 2005  
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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 29 NOV 2005 HIGHEST RN 868943-57-1  
DICTIONARY FILE UPDATES: 29 NOV 2005 HIGHEST RN 868943-57-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

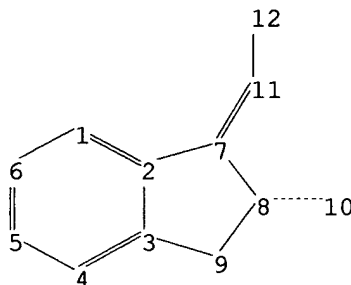
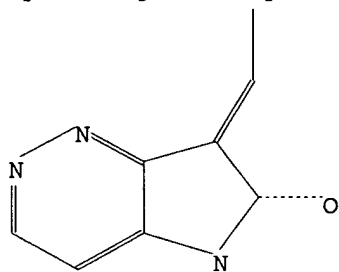
Structure search iteration limits have been increased. See HELP SLIMITS  
for details.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10725267a.str



chain nodes :

10 11

ring nodes :

1 2 3 4 5 6 7 8 9 12

chain bonds :

7-11 8-10 11-12

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9

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exact/norm bonds :

3-9 8-9 8-10

exact bonds :

2-7 7-8 7-11 11-12

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

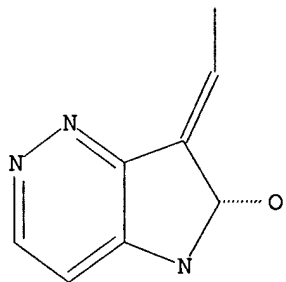
11:CLASS 12:Atom

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

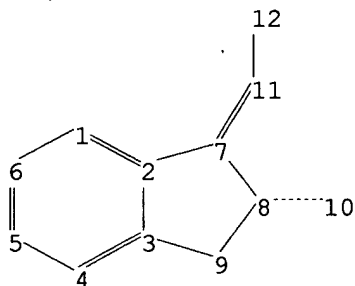
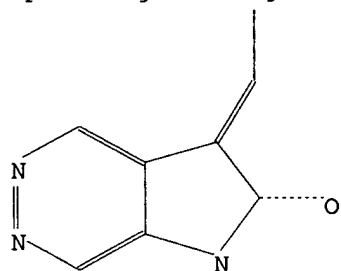
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=>

Uploading C:\Program Files\Stnexp\Queries\10725267b.str



chain nodes :

10 11

ring nodes :

1 2 3 4 5 6 7 8 9 12

chain bonds :

7-11 8-10 11-12

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9

exact/norm bonds :

10/ 725,267

3-9 8-9 8-10

exact bonds :

2-7 7-8 7-11 11-12

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

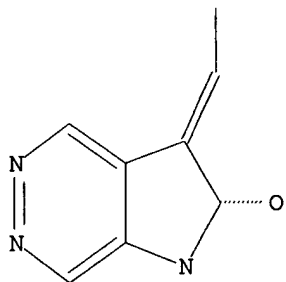
11:CLASS 12:Atom

L2 STRUCTURE UPLOADED

=> d 12

L2 HAS NO ANSWERS

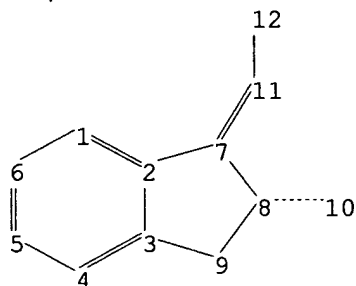
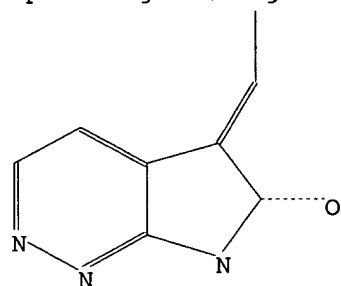
L2 STR



Structure attributes must be viewed using STN Express query preparation.

=>

Uploading C:\Program Files\Stnexp\Queries\10725267c.str



chain nodes :

10 11

ring nodes :

1 2 3 4 5 6 7 8 9 12

chain bonds :

7-11 8-10 11-12

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9

exact/norm bonds :

3-9 8-9 8-10

10/ 725,267

exact bonds :

2-7 7-8 7-11 11-12

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

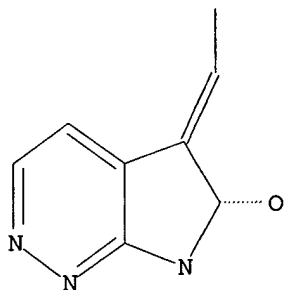
11:CLASS 12:Atom

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> s (11 or 12 or 13) sample

SAMPLE SEARCH INITIATED 11:04:43 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 0 TO 0

PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM (L1 OR L2 OR L3)

=> s (11 or 12 or 13) full

FULL SEARCH INITIATED 11:04:48 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L5 0 SEA SSS FUL (L1 OR L2 OR L3)

=> s pyridaz? and pyrrolidin?

10/ 725,267

137119 PYRIDAZ?

528407 PYRROLIDIN?

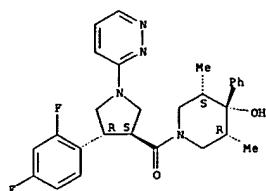
L6 1620 PYRIDAZ? AND PYRROLIDIN?

=> d scan 16

10/ 725,267

L6 1620 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN  
IN 4-Piperidinol, 1-[[[(3R,4R)-4-(2,4-difluorophenyl)-1-(3-pyridazinyl)-3-pyrrolidinyl]carbonyl]-3,5-dimethyl-4-phenyl-,  
(3a,4a,5a)- (9CI)  
MF C28 H30 F2 N4 O2  
CI COM

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

10/ 725,267

=> s pyridaz? with pyrrolidin?

137119 PYRIDAZ?

1572826 WITH

528407 PYRROLIDIN?

L7 0 PYRIDAZ? WITH PYRROLIDIN?

(PYRIDAZ? (W) WITH (W) PYRROLIDIN?)

=> s pyridaz? same pyrrolidin?

137119 PYRIDAZ?

7 SAME

528407 PYRROLIDIN?

L8 0 PYRIDAZ? SAME PYRROLIDIN?

(PYRIDAZ? (W) SAME (W) PYRROLIDIN?)

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

369.05

369.26

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FILE COVERS 1907 - 1 Dec 2005 VOL 143 ISS 23

FILE LAST UPDATED: 30 Nov 2005 (20051130/ED)

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<http://www.cas.org/infopolicy.html>

=> d his

(FILE 'HOME' ENTERED AT 11:03:21 ON 01 DEC 2005)

FILE 'REGISTRY' ENTERED AT 11:03:30 ON 01 DEC 2005

L1 STRUCTURE UPLOADED

L2 STRUCTURE UPLOADED

L3 STRUCTURE UPLOADED

L4 0 S (L1 OR L2 OR L3) SAMPLE

L5 0 S (L1 OR L2 OR L3) FULL

L6 1620 S PYRIDAZ? AND PYRROLIDIN?

L7 0 S PYRIDAZ? WITH PYRROLIDIN?

L8 0 S PYRIDAZ? SAME PYRROLIDIN?



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FILE 'CAPLUS' ENTERED AT 11:06:45 ON 01 DEC 2005

=> s 16

L9 523 L6

=> s 19 and (pyrrolidinone or "oxo-pyrrolidinyl")

11810 PYRROLIDINONE

147277 "OXO"

9989 "PYRROLIDINYL"

22 "OXO-PYRROLIDINYL"

("OXO"(W)"PYRROLIDINYL")

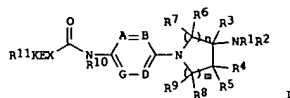
L10 14 L9 AND (PYRROLIDINONE OR "OXO-PYRROLIDINYL")

=> d l10 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 14 ANSWERS - CONTINUE? Y/(N):y

L10 ANSWER 1 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2004:696342 CAPIUS  
 DOCUMENT NUMBER: 141:225302  
 TITLE:  
 Preparation of N-arylheterocycles as melanin  
 concentrating hormone (MCH) antagonists.  
 INVENTOR(S):  
 Matthias; Boehme, Thomas; Hessler, Gerhard; Stahl,  
 Petrar; Gretzke, Dirk  
 PATENT ASSIGNEE(S):  
 Aventis Pharma Deutschland GmbH, Germany  
 SOURCE:  
 PCT Int. Appl., 390 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE:  
 Patent  
 LANGUAGE:  
 German  
 FAMILY ACC. NUM. COUNT:  
 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE              | APPLICATION NO.  | DATE       |
|---|------|-------------------|------------------|------------|
| WO 2004072025   | A2   | 20040826          | WO 2004-EP1342   | 20040213   |
| WO 2004072025   | A3   | 20041223          |                  |            |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BV, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HD, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NG, NO, NZ, OM, PA, PE, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SN, SR, SS, SV, SY, TD, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW |      |                   |                  |            |
| DE 10306250   | A1   | 20040909          | DE 2003-10306250 | 20030214   |
| CA 2516118  | AA   | 20040826          | CA 2004-2516118  | 20040213   |
| EP 1597228  | A2   | 20051123          | EP 2004-710808   | 20040213   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |                   |                  |            |
| US 2004220191   | A1   | 20041104          | US 2004-779853   | 20040217   |
| PRIORITY APPLN. INFO.:  |      |                   | DE 2003-10306250 | A 20030214 |
|   |      |                   | US 2003-489545P  | P 20030718 |
|   |      |                   | WO 2004-EP1342   | W 20040213 |
| OTHER SOURCE(S):  |      | MARPAT 141:225302 |                  |            |
| GI  |      |                   |                  |            |



AB Title compds. [1: R1, R2 = H, alkyl, alkoxyalkyl, aryloxyalkyl, alkylcarbonyl, alkenylcarbonyl, etc.; R1R2N = atoms to form a 4-10 membered mono-, bi-, or spirocyclic (substituted) ring; R3 = H, alkyl; R4, R5 = H, alkyl, OH, alkoxy, alkylcarbonyloxy, alkylthio; R6-R9 = H, alkyl;

L10 ANSWER 1 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 2-A

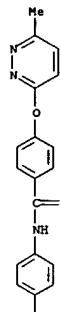


L10 ANSWER 1 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN (Continued)  
 R6R7, R8R9 = O; A, B, D, G = N, CR42; AB, DG = CR42; R42 = H, F, Cl, Br, Iodo, CF3, NO2, cyano, OCF3, alkoxy, alkylthio, alkenyl, cycloalkyl, cycloalkoxy, cycloalkenyl, alkynyl, CO2H, etc.; R10 = H, alkyl, alkenyl, alkynyl; X = NR52, O, bond, C-C, C-tpbond.C, etc.; R52 = H, alkyl; E = (substituted) C3-14 carbocyclyl, heterocyclyl; K = bond, O, CH2O, S, SO, CO, C-C, C-tpbond.C, etc.; R11 = H, alkyl, alkoxyalkyl, alkenyl, alkynyl, 3-10 membered (substituted) mono-, bi-, tri- or spirocyclic ring; EKR11 = (unsatd.) tricyclic ring; m, n = 0-2, were prepd. Thus, N-[1-(4-aminophenyl)pyrrolidin-3-yl]piperidine was treated with carbonyldiimidazole and then with 4-(4-chlorophenyl)piperidine to give 4-(4-chlorophenyl)piperidine-1-carboxylic acid [4-[3-(acetylmethylamino)pyrrolidin-1-yl]phenyl]amide. The latter at 30 mg/kg orally in female NMRI mice reduced milk consumption by 64%.

IT 748177-20-OP  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-arylheterocycles as MCH antagonists)

RN 748177-20-0 CAPIUS  
 CN Benamide, N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-4-[(6-methyl-3-pyridazinyl)oxy]- (9CI) (CA INDEX NAME)

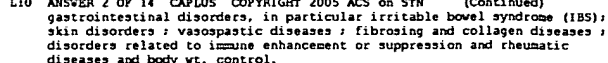
PAGE 1-A



L10 ANSWER 2 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2004:550948 CAPIUS  
 DOCUMENT NUMBER: 141:106496  
 TITLE:  
 Preparation of substituted 1-piperidin-4-yl-4-pyrrolidin-3-yl-piperazine derivatives and their use as neurokinin antagonists  
 INVENTOR(S):  
 Janssens, Frans Eduard; Sommen, Francois Maria; De Boeck, Benoit Christian Albert Ghislain; Leenaerts, Joseph Elisabeth  
 PATENT ASSIGNEE(S):  
 Janssen Pharmaceutica N.V., Belg.  
 SOURCE:  
 PCT Int. Appl., 123 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE:  
 Patent  
 LANGUAGE:  
 English  
 FAMILY ACC. NUM. COUNT:  
 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE              | APPLICATION NO. | DATE       |
|---|------|-------------------|-----------------|------------|
| WO 2004056799   | A2   | 20040708          | WO 2003-EP51041 | 20031217   |
| WO 2004056799   | A3   | 20040812          |                 |            |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BV, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HD, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NG, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW |      |                   |                 |            |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AE, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |                   |                 |            |
| CA 2508657  | AA   | 20040708          | CA 2003-2508657 | 20031217   |
| EP 1581518  | A2   | 20051005          | EP 2003-810849  | 20031217   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |                   |                 |            |
| PRIORITY APPLN. INFO.:  |      |                   | WO 2002-EP14831 | A 20021223 |
|   |      |                   | WO 2003-EP51041 | W 20031217 |
| OTHER SOURCE(S):  |      | MARPAT 141:106496 |                 |            |
| GI  |      |                   |                 |            |

L10 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT 717924-08-8P

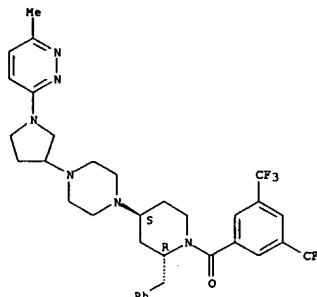
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(stereoselective preparation of piperidinylpyrrolidinylpiperazines with tachykinin antagonist activity)

RN 717924-08-8 CAPIUS

CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-[1-(6-methyl-3-pyridazinyl)-3-pyrolidinyl]-1-piperazinyl]-2-(phenylmethyl)-, (2R,4S)-(9CI) (CA INDEX NAME)

### Absolute stereochemistry.



AB Title compds. I [Q=0 or NR3; X = covalent bond, -O-, -S-, or -NR3; R1 independently = Ar1, Ar1-alkyl, and di(Ar1)-alkyl; R2 = Ar2, Ar2-alkyl, di(Ar2)-alkyl Het1, Het1-alkyl; R3 independently = H or alkyl; Y = covalent bond, -CO-, -SO2-, >C=CH- or >C=NR, wherein R = H, CN or NO2; M independently = covalent bond, (un)substituted-alkyl-, (un)saturated carbocyclic; L = H, alkoxyloxy, Ar3oxy, alkylamine, etc.; Ar1 = (un)substituted alkyl or Ph with substituent(s) selected from halo, alkyl, CN, aminocarbonyl, and alkoxyloxy; Ar3 = (un)substituted naphthalenyl or Ph with substituent(s) selected from halo, alkyl, CN, amino, alkoxyloxy, OH, pyridinyl, etc.; Het1 = monocyclic heterocyclic radical selected from pyrrolyl, pyrazolyl, imidazolyl, furanyl, etc.; n = 1 or 2 provided that if n = 2, then n = 1; m = 0-2; p = -1-2; q = 0-1 and their pharmacologically acceptable salts having neurokinin antagonistic activity and/or NK1/NK2 antagonistic activity, a combined NK1/NK2 antagonistic activity and a combined NK1/NK2/NK3 antagonistic activity, their preparation, compns. comprising them and their

as a medicine, in particular for the treatment of schizophrenia, anxiety, depression, emesis and IBS are disclosed. Thus, e.g., II was prepared by reaction of (2R-trans) 1-(3,5-bis(trifluoromethyl)benzoyl)-2-(phenylmethyl)-4-(1-piperazinyl)piperidine (preparation given) and 1-(phenylmethyl)-3-pyrrolidinone. The receptor binding values (pIC50) for the h-NK1 ranges from 10 to 11, according to the invention of the compounds of the present invention, the actions of the tachykinins by blocking the neurokinin receptors, and in particular antagonizing the actions of substance P and Neurokinin B by blocking the NK1, NK2 and NK3 receptors, the compds. according to the invention are useful as a medicine, in particular in the prophylactic and therapeutic treatment of tachykinin-mediated conditions, such as, for instance CNS disorders, in particular schizoaffective disorders, depression, anxiety disorders, stress-related disorders, sleep disorders, cognitive disorders, personality disorders, eating disorders, dyspareunia, dyspareunia, addiction disorders, mood disorders, sexual dysfunction, pain and other CNS-related conditions, i.e. inflammation, allergic disorders, i emesis,

L10 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

L10 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 3 OF 14 CARLOS CORRAJON 2003 ACS ON STM (continued),  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(GSK3 inhibitor; prepn. of pyrazolopyridazines as GSK-3 inhibitors for treating Type II Diabetes)

RN 551919-87-0 CAPLUS

2-Pyridolidinone, 1-[3-[(4-pyrazolo[1,5-b]pyridazin-3-yl-2-pyrimidinyl)amino]propyl]- (9CI) (CA INDEX NAME)

|                         |         |
|-------------------------|---------|
| DOCUMENT TYPE:          | CODEN:  |
| LANGUAGE:               | Patent  |
| FAMILY ACC. NUM. COUNT: | English |
| PATENT INFORMATION:     | 1       |

N#Cc1ccc(cc1)-c2ccc3c(c2)c4ccccc4n3

RN 551920-35-5 CAPLUS

2-Pyrimidinamine, N-cyclopropyl-4-[6-(1-pyrrolidinyl)pyrazolo[1,5-b]pyridazin-3-yl]- (9CI) (CA INDEX NAME)

| PATENT NO.  | KIND | DATE              | APPLICATION NO. | DATE       |
|---|------|-------------------|-----------------|------------|
| WO 2004035588   | A1   | 20040429          | WO 2003-0532473 | 20031014   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, LY, MA, MD, MG, MK, MN, MX, MY, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TN, TR, TT, TZ, UA, UG, UZ, VC, VE, VN, YU, ZA, ZM, ZW<br>RW: GH, GM, KE, LS, MW, ND, SD, SI, ST, TC, UG, ZM, ZW<br>AG, AZ, BY, BG, KZ, MD, MR, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, FI, FR, GB, GR, HU, IE, IT, LU, MC, ML, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GW, GQ, MW, MR, NE, SN, TD, TG |      |                   |                 |            |
| EP 1551842  | A1   | 20050713          | EP 2003-080999  | 20031014   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |                   |                 |            |
| PRIORITY APPL. INFO.:   |      |                   | US 2002-418522P | P 20021015 |
|   |      |                   | WO 2003-0532473 | W 20031014 |
| OTHER SOURCE(S):  |      |                   |                 |            |
| GI  |      | MARPAT 140:357361 |                 |            |

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

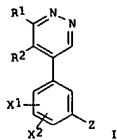
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein D = N-alkyl, R1 = (un)substituted hetero/aryl; n = 1 or 2; R2 = H, alk(en)ynyl, haloalkyl, cycloalkyl, halo, heterocyclyl, hetero/aryl, CN, azido, NO2, OH and derivs., CO2H and derivs., CONH2 and derivs., NH2 and derivs., S(O)H and derivs., etc.; q = 0-2; R3 = Qp-Q1; Q = O, NH and derivs., S(O)q; p = 0 or 1; Q1 = ar/cyclo/halo/alkyl, heteroaryl, (un)substituted aryl, etc.; their salts, solvates, and physiol. functional derivs.] were prepared as GSK3 kinase inhibitors for treating Type II Diabetes mellitus. For example, II was prepared by cycloaddn. of 1-aminopyridazinium iodide (preparation given) with 3-buten-2-one in CH2Cl2, reaction of the methylketone with DMF di-tert-butylacetal in DMF, and cyclocondensation of the  $\alpha$ ,  $\beta$ -unsatd. ketone with N-cyclopropylguanidine=0.5H2SO4 (preparation given) in DMF in the presence of K2CO3. I displayed pIC50 values > 5.0 for the inhibition of GSK3

IT 551919-07-0P, 1-[3-[(4-Pyrazolo[1,5-b]pyridazin-3-yl-2-pyrimidinyl)amino]propyl]-2-pyrazolidinone 551920-35-SP, N-Cyclopropyl-4-[6-(1-pyridindinyl)pyrazolo[1,5-b]pyridazin-3-yl]-2-pyrimidinamine  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

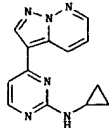
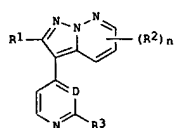
L10 ANSWER 4 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2004:143112 CAPIUS  
 DOCUMENT NUMBER: 140:181457  
 TITLE: Preparation of phenylpyridazine derivatives as ligands for GABA receptors  
 INVENTOR(S): Blackaby, Wesley Peter; Blurton, Peter; Burkamp, Frank; Fletcher, Stephen Robert; Jennings, Andrew; Lewis, Richard Thomas; Macleod, Angus Murray; Street, Leslie Joseph; Thomas, Steve; Van Niel, Monique Bodil; Wilson, Kevin  
 PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK  
 SOURCE: PCT Int. Appl., 127 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO.                        | DATE       |
|------------------------|--|----------|--|------------|
| WO 2004014865          | A1   | 20040219 | WO 2003-GB3376                         | 20030804   |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |  |            |
| RV:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |  |            |
| CA 2495285             | AA   | 20040219 | CA 2003-2495285                        | 20030804   |
| EP 1532120             | A1   | 20050525 | EP 2003-784243                         | 20030804   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |          |  |            |
| PRIORITY APPLN. INFO.: |  |          |  |            |
|                        |  |          | GB 2002-18874                          | A 20020813 |
|                        |  |          | GB 2002-29591                          | A 20021219 |
|                        |  |          | WO 2003-GB3376                         | W 20030804 |
| OTHER SOURCE(S):       |  |          | CASREACT 140:181457; MARPAT 140:181457 |            |
| GI                     |  |          |  |            |



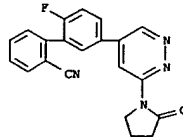
L10 ANSWER 5 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2003:491232 CAPIUS  
 DOCUMENT NUMBER: 139:69273  
 TITLE: Preparation of (pyrazolo[1,5-b]pyridazinyl)pyrimidinamines and analogs as cyclin dependent kinase inhibitors for treatment of cancer  
 INVENTOR(S): Harris, Phillip Anthony; Jung, David Kendall; Feel, Michael Robert; Reno, Michael John; Rheault, Tara; Renae: Stanford, Jennifer Badiang; Stevens, Kirk; Lawrence: Veal, James Marvin; Badiang, Jennifer G.; et al.  
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA  
 SOURCE: PCT Int. Appl., 134 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO.  | DATE       |
|------------------------|--|----------|------------------|------------|
| WO 2003051886          | A1   | 20030626 | WO 2002-US39672  | 20021211   |
| WO 2003051886          | C1   | 20040819 |                  |            |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                  |            |
| RV:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                  |            |
| EP 1463730             | A1   | 20041006 | EP 2002-805104   | 20021211   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK   |          |                  |            |
| US 2005090507          | A1   | 20050428 | US 2003-499179   | 20021211   |
| JP 200524609           | T2   | 20050818 | JP 2003-552768   | 20021211   |
| PRIORITY APPLN. INFO.: |  |          |                  |            |
|                        |  |          | US 2001-341798P  | P 20011217 |
|                        |  |          | WO 2002-US39672  | W 20021211 |
| OTHER SOURCE(S):       |  |          | MARPAT 139:69273 |            |
| GI                     |  |          |                  |            |



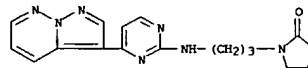
AB Fused pyridazine derivs. I [wherein D = N or CH; R1 = H, alkyl, alkenyl, alkynyl, alkoxy, halo, CF3, OH, CN, SOO-2-alkyl, or NR4R5; R2 = H, (cyclo)alkyl, alkenyl, alkynyl, haloalkyl, halo, heterocyclyl,

L10 ANSWER 4 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN (Continued)  
 AB 4-Phenylpyridazines I [X1 = H, halogen, alkyl, CF3, alkoxy; X2 = H, halogen; Z = H, halogen, CN, CH2CN, CF3, NO2, OH, alkoxy, CDO, alkoxy, carbonyl, (un)substituted aryl, heteroaryl, heteroarylalkoxy; R1 = H, hydrocarbon, heterocyclic, halogen, CN, CF3, NO2, O3SCF3, (un)substituted OH, SH, S(O)H, SO2H, SO2NH2, NH2, CO2H, CONH2, CH2NOH, acyl, aryl, heteroaryl; R2 = H, alkoxy, carbonyl] were prepared for use as selective ligands for GABAA receptors, with particularly high affinity for the α2 and/or α3 and/or α5 subunit in the treatment of adverse conditions of the central nervous system, including anxiety, convulsions and cognitive disorders (no data). Thus, Et 2,3-diphenylcycloprop-2-enecarboxylate was treated with CH2N2 to give Et 3,5-diphenylpyridazine-4-carboxylate.  
 IT RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of phenylpyridazine derivs. as ligands for GABA receptors)  
 RN 660424-98-6 CAPIUS  
 CN [1,1'-Biphenyl]-2-carbonitrile, 2'-fluoro-5'-[6-(2-oxo-1-pyrrolidinyl)-4-pyridazinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN (Continued)  
 (hetero)aryl, CN, N3, NO2, OR8, OR6R8, R6R7, R6R11, OSO2R9, SOO-2R10, COR7, CO2R7, CONR4R5, NHR12C(NR4)NR4R5, OCONR4R5, OCO2R7, C(NR4)NR4R5, NR4R5, OCOR7, or NR8COR8; R3 = QpQ1; R4 and R5 = independently H, (cyclo)alkyl, or COR9; or NR4R5 = heterocyclyl; R6 = (cyclo)alkylene, (cyclo)alkenylene, alkynylene, or (hetero)arylene; R7 = H, (cyclo)alkyl, alkenyl, alkynyl, NR4R5, (hetero)aryl, aralkyl, heterocyclyl, SOO-2R10, COR8, CO2R8, CONR4R5, NHR12C(NR4)NR4R5, OCONR4R5, OCO2R8, C(NR4)NR4R5, NR4R5, OCOR7, or NR8COR8; R8 = H, (cyclo)alkyl, alkenyl, alkynyl, NR4R5, (hetero)aryl, aralkyl, heterocyclyl, or SO2R9; R9 = (halo)alkyl; R10 = H, (cyclo)alkyl, alkenyl, alkynyl, NR4R5, (hetero)aryl, aralkyl, heterocyclyl, COR8, CO2R8, CONR4R5, NHR12C(NR4)NR4R5, OCONR4R5, OCO2R8, C(NR4)NR4R5, NR4R5, or NR8COR8; R11 = OR7, OCONR4R5, OCO2R7, or OCOR7; R12 = alkylene; Q = O, NR8, or SOO-2; Q1 = (cyclo)alkyl, haloalkyl, (un)substituted aryl, heteroaryl, aralkyl, or R6NR4R5; n = 1-2; p = 0-1; and salts solvates, and physiol. functional derivs. thereof) were prepd. as cyclin dependent kinase (CDK) inhibitors. For example, reaction of 1-aminopyridazinium iodide with 3-butyne-2-one in the presence of KOH in H2O provided 1-(pyrazolo[1,5-b]pyridazin-3-yl)ethanone (69%). Coupling of the ethanone with DMF di-tert-Bu acetal afforded (2E)-3-(dimethylamino)-1-pyrazolo[1,5-b]pyridazin-3-yl-2-propen-1-one (70%), which was cyclized with N-cyclopropylguanidine=0.5H2SO4 in DMF to give II (75%). The latter inhibited CDK4 and CDK2 with IC50 values of <0.1 μM and <1.0 μM, resp. Thus, I are useful for the treatment of hyperproliferative diseases, such as cancer (no data).  
 IT 551919-87-OP, 1-[3-[[4-(Pyrazolo[1,5-b]pyridazin-3-yl)-2-pyrimidinyl]amino]propyl]-2-pyrrolidinone 551920-35-SP, N-Cyclopropyl-4-[6-(1-pyrrolidinyl)pyrazolo[1,5-b]pyridazin-3-yl]-2-pyrimidinamine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (CDK inhibitor: preparation of (pyrazolo[1,5-b]pyridazinyl)pyrimidinamines and analogs as CDC inhibitors for treatment of cancer)  
 RN 551919-87-0 CAPIUS  
 CN 2-Pyrrolidinone, 1-[3-[[4-(pyrazolo[1,5-b]pyridazin-3-yl)-2-pyrimidinyl]amino]propyl]- (9CI) (CA INDEX NAME)



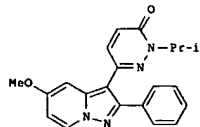
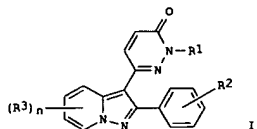
RN 551920-35-5 CAPIUS  
 CN 2-Pyrimidinamine, N-cyclopropyl-4-[6-(1-pyrrolidinyl)pyrazolo[1,5-b]pyridazin-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2002:171898 CAPLUS  
 DOCUMENT NUMBER: 136:232298  
 TITLE: Pyrazolopyridine compounds and pharmaceutical use thereof as adenosine receptor antagonists  
 INVENTOR(S): Akahane, Atsushi; Tanaka, Akira; Minagawa, Masatoshi; Itani, Hiromichi; Ohtake, Hiroaki  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 149 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE       | APPLICATION NO. | DATE       |
|------------------------|--|------------|-----------------|------------|
| WO 2002018382          | A1   | 20020307   | WO 2001-JP7322  | 20010827   |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |            |                 |            |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |            |                 |            |
| AU 2001080188          | A5   | 20020313   | AU 2001-80188   | 20010827   |
| EP 1313733             | A1   | 20030528   | EP 2001-958521  | 20010827   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |            |                 |            |
| JP 2004507542          | T2   | 20040311   | JP 2002-523897  | 20010827   |
| US 2004110763          | A1   | 20040610   | US 2003-344894  | 20030226   |
| PRIORITY APPLN. INFO.: |  |            | AU 2000-9698    | A 20000828 |
| OTHER SOURCE(S):       | MARPAT   | 136:232298 | WO 2001-JP7322  | W 20010827 |
| GI                     |  |            |                 |            |



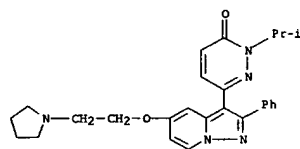
AB Pyrazolopyridines I are disclosed [wherein: R1 = H, (un)substituted lower alkyl or cycloalkyl which may be interrupted by an O or N; R2 = H, halo, or lower alkoxy; R3 = independent substituent(s); and n = 1 to 4; or a salt thereof]. The compds. are adenosine antagonists, and are thus useful for the prevention and/or treatment of a wide variety of medical conditions, e.g., depression, dementia (e.g., Alzheimer's disease, cerebrovascular dementia, dementia accompanying Parkinson's disease, etc.) Parkinson's disease, anxiety, pain, cerebrovascular disease (e.g. stroke, etc.), heart failure, and the like. In particular, treatment of Parkinson's disease and/or associated symptoms is specifically claimed.

Over 330 example compds. are described. For instance, cyclization of 1-amino-4-methoxypyridinium iodide with 3-(benzenesulfonyl)-6-(phenylethynyl)pyridazine, gave 3-(3-phenylsulfonylpyridazin-6-yl)-5-methoxy-2-phenylpyrazolo[1,5-a]pyridine. This compound was hydrolyzed at the phenylsulfonyl group, and the resultant pyridazinone was N-alkylated with NaH/DMP and iso-Pr-I to give title compound II. In radioligand binding assays, II had Ki values of 0.15 nM for human A1 receptors and 1.38 nM for human A2A receptors. In an anticatalepsy test in mice, 6 tested example compds. I at 3.2 mg/kg orally completely suppressed the cataleptic effects of haloperidol at 0.32 mg/kg i.p.

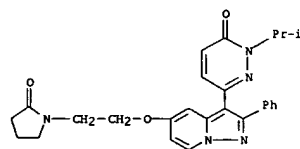
IT 403490-32-4P, 5-[2-(1-Pyrrolidinyl)ethoxy]-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine  
 403490-57-3P, 5-[2-(2-Oxo-1-pyrrolidinylethoxy)-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine  
 403491-49-5P, 5-(1-Pyrrolidinylcarbonyl)-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine  
 403491-92-7P, N-[2-(1-Pyrrolidinyl)ethyl]-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine-5-carboxamide  
 403491-94-1P, 5-(1-Pyrrolidinyl)-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-pyrazolo[1,5-a]pyridine 403493-08-3P, 5-(2-Oxo-1-pyrrolidinyl)-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine 403493-46-9P, 6-[2-(1-Pyrrolidinyl)-2-oxoethoxy]-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine 403494-39-3P, (S)-5-[[2-(Hydroxymethyl)pyrrolidin-1-yl]carbonyl]-3-(3-oxo-2-isopropyl-

2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine  
 403494-57-5P, (S)-5-[[2-(Methoxymethyl)pyrrolidin-1-yl]carbonyl]-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine 403494-63-3P, (R)-5-[[2-(Methoxymethyl)pyrrolidin-1-yl]carbonyl]-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; prepn. of pyrazolopyridines as adenosine receptor antagonists)

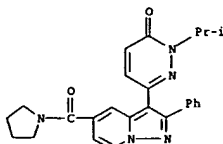
RN 403490-32-4 CAPLUS  
 CN 3(2H)-Pyridazinone, 2-(1-methylethyl)-6-[2-phenyl-5-[2-(1-pyrrolidinyl)ethoxy]pyrazolo[1,5-a]pyridin-3-yl]- (9CI) (CA INDEX NAME)



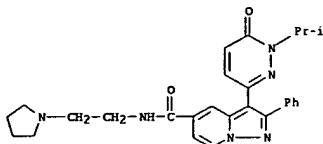
RN 403490-57-3 CAPLUS  
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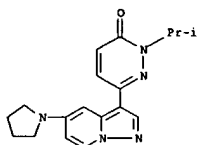
RN 403491-49-6 CAPLUS  
 CN Pyrrolidine, 1-[[3-[1,6-dihydro-1-(1-methylethyl)-6-oxo-3-pyridazinyl]-2-phenylpyrazolo[1,5-a]pyridin-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



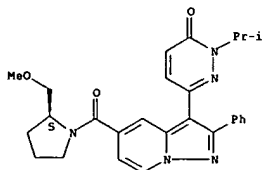
RN 403491-82-7 CAPLUS  
CN Pyrazolo[1,5-a]pyridine-5-carboxamide, 3-[[1,6-dihydro-1-(1-methylethyl)-6-oxo-3-pyridazinyl]-2-phenyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 403491-94-1 CAPLUS  
CN 3(2H)-Pyridazinone, 2-(1-methylethyl)-6-[5-(1-pyrrolidinyl)pyrazolo[1,5-a]pyridin-3-yl]- (9CI) (CA INDEX NAME)

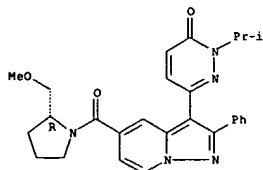


RN 403493-08-3 CAPLUS  
CN 3(2H)-Pyridazinone, 2-(1-methylethyl)-6-[5-(2-oxo-1-pyrrolidinyl)-2-phenylpyrazolo[1,5-a]pyridin-3-yl]- (9CI) (CA INDEX NAME)

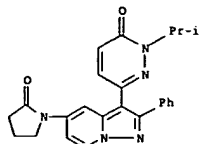


RN 403494-63-3 CAPLUS  
CN Pyrrolidine, 1-[[[3-[[1,6-dihydro-1-(1-methylethyl)-6-oxo-3-pyridazinyl]-2-phenylpyrazolo[1,5-a]pyridin-5-yl]carbonyl]-2-(methoxymethyl)-, (2R)- (9CI) (CA INDEX NAME)

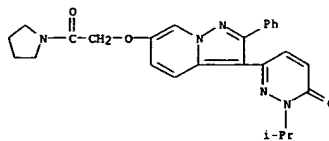
Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

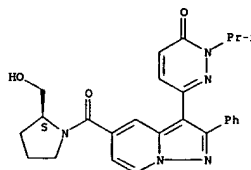


RN 403493-46-9 CAPLUS  
CN Pyrrolidine, 1-[[[3-[[1,6-dihydro-1-(1-methylethyl)-6-oxo-3-pyridazinyl]-2-phenylpyrazolo[1,5-a]pyridin-6-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)



RN 403494-39-3 CAPLUS  
CN 2-Pyrrolidinemethanol, 1-[[[3-[[1,6-dihydro-1-(1-methylethyl)-6-oxo-3-pyridazinyl]-2-phenylpyrazolo[1,5-a]pyridin-5-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 403494-57-5 CAPLUS  
CN Pyrrolidine, 1-[[[3-[[1,6-dihydro-1-(1-methylethyl)-6-oxo-3-pyridazinyl]-2-phenylpyrazolo[1,5-a]pyridin-5-yl]carbonyl]-2-(methoxymethyl)-, (2S)- (9CI) (CA INDEX NAME)

DOCUMENT NUMBER: 133:164010

TITLE: Preparation of caprolactams, piperidinones, and pyrrolidinones as Factor Xa inhibitors in prevention or treatment of thromboses, coronary artery disease, or cerebrovascular disease in mammals

INVENTOR(S): Stein, Philip D.; Bisacchi, Gregory S.; Shi, Yan;

O'Connor, Stephen P.; Li, Chi

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 284 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

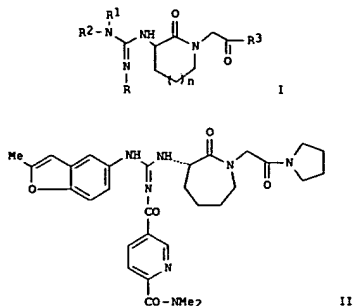
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2000047207          | A1   | 20000817 | WO 2000-US2883  | 20000202   |
| W:                     | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| CA 2360305             | AA   | 20000817 | CA 2000-2360305 | 20000202   |
| US 6297233             | B1   | 20011002 | US 2000-496571  | 20000202   |
| EP 1156803             | A1   | 20011128 | EP 2000-914503  | 20000202   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |          |                 |            |
| AU 760174              | B2   | 20030508 | AU 2000-35887   | 20000202   |
| PRIORITY APPLN. INFO.: |  |          | US 1999-119372P | P 19990209 |
|                        |  |          | US 1999-167428P | P 19991124 |
|                        |  |          | WO 2000-US2883  | W 20000202 |

OTHER SOURCE(S): MARPAT 133:164010

G1



AB Title chiral compds. [1: R = CN, CONH2, COOCH2CH3, COC6H5, SO2NH2, OCH3, SO2N(CH3)2, SO2CH3, arylsulfonyl, heterocyclosulfonyl, (un)substituted Ph, heterocyclyl, heterocycloacarbonyl, alkoxycarbonyl, arylaminocarbonyl; R1 = H, arylalkyl; R2 = alkyl, (un)substituted Ph, benzoheterocyclyl, cyclopentyl; R3 = heterocyclylamino, heterocyclyl, alkoxy, cycloalkylamino, OH; n = 0, 1, 2], pharmaceutically acceptable salts, and stereoisomers are pred. as Factor Xa inhibitors and are useful as anticoagulants (no data). A method for treating cardiovascular diseases associated with thromboses is also provided. Thus, the title compound II

was

prepared

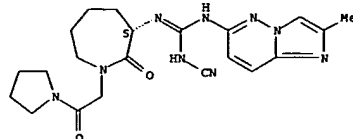
IT 288075-69-4P 288079-55-0P 288080-02-4P  
288080-03-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of caprolactams as Factor Xa inhibitors in prevention or treatment of thromboses, coronary artery disease, or cerebrovascular disease in mammals)

RN 288075-69-4 CAPLUS

CN Pycrolidine, 1-[[[(3S)-3-[[[(2-methylimidazo[1,2-b]pyridazin-6-yl)amino]methylene]amino]hexahydro-2-oxo-1H-azepin-1-yl]acetyl]- (9CI) (CA INDEX NAME)

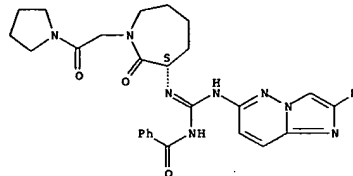
Absolute stereochemistry.



RN 288079-55-0 CAPLUS

CN Benzamide, N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino] [(2-methylimidazo[1,2-b]pyridazin-6-yl)amino]methylene]- (9CI) (CA INDEX NAME)

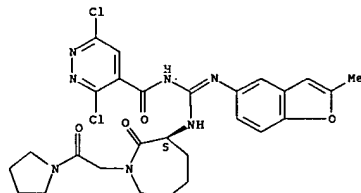
Absolute stereochemistry.



RN 288080-02-4 CAPLUS

CN 4-Pyridazinecarboxamide, 3,6-dichloro-N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino] [(2-methyl-5-benzofuranyl)amino]methylene]- (9CI) (CA INDEX NAME)

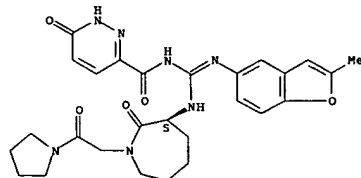
Absolute stereochemistry.



RN 288080-03-5 CAPLUS

CN 3-Pyridazinecarboxamide, N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino] [(2-methyl-5-benzofuranyl)amino]methylene]-1,6-dihydro-6-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

INVENTOR(S):  
Preparation of cyclic amino-substituted N-aryl or N-heteroaryl cyclic amines as antidepressants  
Poes, Michael A.; Tortolani, David R.; Mattson, Ronald J.; Yevich, Joseph P.

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

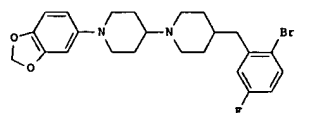
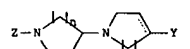
FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

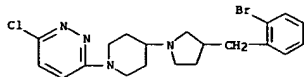
| PATENT NO.  | KIND | DATE     | APPLICATION NO.   | DATE       |
|---|------|----------|-------------------|------------|
| WO 2000044376   | A1   | 20000803 | WO 1999-US30501   | 19991221   |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                   |            |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                   |            |
| CA 2360683  | AA   | 20000803 | CA 1999-2360683   | 19991221   |
| US 6225324  | B1   | 20010501 | US 1999-467957    | 19991221   |
| BR 9916618  | A    | 20011023 | BR 1999-16618     | 19991221   |
| EP 1146871  | A1   | 20011024 | EP 1999-968927    | 19991221   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                   |            |
| TR 200101939  | T2   | 20020521 | TR 2001-200101939 | 19991221   |
| JP 2002535365   | T2   | 20021022 | JP 2000-595679    | 19991221   |
| AU 771234   | B2   | 20040318 | AU 2000-27122     | 19991221   |
| PRIORITY APPLN. INFO.:  |      |          | US 1999-117651P   | P 19990128 |
|   |      |          | WO 1999-US30501   | W 19991221 |

OTHER SOURCE(S):

G1



L10 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)  
 AB The title compds. [1: Z = (un)substituted Ph, benzodioxolone, pyridine, etc.; m, n = 1-3; Y = (un)substituted CH<sub>2</sub>Ph, indol-3-yl], useful antidepressant agents demonstrating potent inhibition of 5-HT reuptake, were prepared. Thus, reacting 1-(benzodioxol-5-yl)-4-piperidone (preparation given) with 4-(2-bromo-5-fluorobenzyl)piperidine and NaBH(OAc)<sub>3</sub> in THF and AcOH over 4 Å sieves afforded 37% II. Compds. I are effective at 5-20 mg/kg/day, when administered orally.  
 IT 286469-17-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of cyclic amino-substituted N-aryl or N-heteroaryl cyclic amines as antidepressants)  
 RN 286469-17-8 CAPLUS  
 CN Pyridazine, 3-[4-{3-[(2-bromophenyl)methyl]-1-pyrrolidinyl}-1-piperidinyl]-6-chloro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

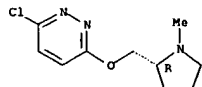
L10 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1999:571815 CAPLUS  
 DOCUMENT NUMBER: 131:214191  
 TITLE: Preparation of 3-(heterocyclymethoxy)pyridines as nicotinic cholinergic agonists  
 INVENTOR(S): Abreo, Melvyn A.; Gunn, David E.; Lin, Nan-Hong; Garvey, David S.; Holladay, Mark W.; Ryther, Keith B.  
 PATENT ASSIGNEE(S): Abbott Laboratories, USA  
 SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 391,749, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| US 5948793  | A    | 19990907 | US 1995-474873  | 19950607 |
| CA 2223062  | AA   | 19961219 | CA 1996-2223062 | 19960528 |
| WO 9640682  | A1   | 19961219 | WO 1996-US7804  | 19960528 |
| W: AU, CA, JP, KR, MX   |      |          |                 |          |
| R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          |                 |          |
| AU 9658045  | A1   | 19961230 | AU 1996-58045   | 19960528 |
| AU 709784   | B2   | 19990909 |                 |          |
| EP 846114   | A1   | 19980610 | EP 1996-914786  | 19960528 |
| EP 846114   | B1   | 20011205 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI |      |          |                 |          |
| JP 2000509011   | T2   | 20000718 | JP 1987-500765  | 19960528 |
| AT 210130   | E    | 20011215 | AT 1996-914786  | 19960528 |
| PT 846114   | T    | 20020531 | PT 1996-914786  | 19960528 |
| ES 2171222  | T3   | 20020901 | ES 1996-914786  | 19960528 |
| HK 1011866  | A1   | 20021011 | HK 1998-113169  | 19981210 |
| PRIORITY APPLN. INFO.:  |      |          |                 |          |
| US 1992-959005 B2 19921009  |      |          |                 |          |
| US 1993-126481 B2 19930928  |      |          |                 |          |
| US 1993-129223 B1 19931004  |      |          |                 |          |
| US 1995-391749 B2 19950221  |      |          |                 |          |
| US 1995-474873 A 19950607   |      |          |                 |          |
| US 1995-485537 A 19950607   |      |          |                 |          |
| WO 1996-US7804 W 19960528   |      |          |                 |          |

OTHER SOURCE(S): MARPAT 131:214191  
 AB R1Z1CH2OZ2R2 [1: R1 = H, alkyl, allyl; R2 = H, Cl, F, alkyl; Z1 = azetidine-, pyrrolidine-, or piperidine-1,2-diyl; Z2 = (un)substituted pyridine-3,2-diyl] were prepared. Thus, (R)-1-methyl-2-pyrrolidinemethanol was etherified by 3-hydroxypyridine to give (R)-MeZ1CH2OZ2H (Z1 = pyrrolidine-1,2-diyl, Z2 = pyridine-3,2-diyl). Data for biol. activity of I were given.  
 IT 228856-93-7P 228856-96-OP 228857-07-6P  
 228857-10-1P 228857-38-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 3-(heterocyclymethoxy)pyridines as nicotinic cholinergic agonists)  
 RN 228856-93-7 CAPLUS  
 CN Pyridazine, 3-chloro-6-[(2R)-1-methyl-2-pyrrolidinylmethoxy]- (9CI) (CA INDEX NAME)

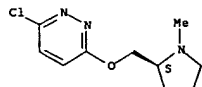
L10 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry. Rotation (+).



RN 228856-96-0 CAPLUS  
 CN Pyridazine, 3-chloro-6-[(2S)-1-methyl-2-pyrrolidinylmethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

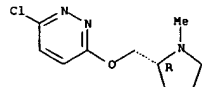


RN 228857-07-6 CAPLUS  
 CN Pyridazine, 3-chloro-6-[(2R)-1-methyl-2-pyrrolidinylmethoxy]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 228856-93-7  
 CMF C10 H14 Cl N3 O

Absolute stereochemistry. Rotation (+).



CH 2

CRN 144-62-7  
 CMF C2 H2 O4



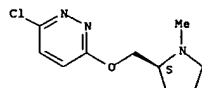
RN 228857-10-1 CAPLUS  
 CN Pyridazine, 3-chloro-6-[(2S)-1-methyl-2-pyrrolidinylmethoxy]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

L10 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH 1

CRN 228856-96-0  
 CMF C10 H14 Cl N3 O

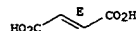
Absolute stereochemistry.



CH 2

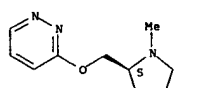
CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



RN 228857-38-3 CAPLUS  
 CN Pyridazine, 3-[(2S)-1-methyl-2-pyrrolidinylmethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

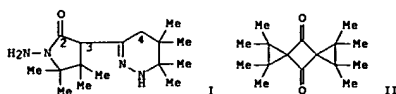


● 2 HCl

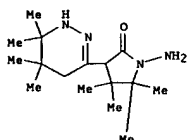
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L10 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1994:483247 CAPLUS  
 DOCUMENT NUMBER: 121:83247  
 TITLE: Unexpected formation of 1-amino-4,4,5,5-tetramethyl-3-(5,5,6,6-tetramethyl-1,4,5,6-tetrahydropyridazin-3-yl)pyrrolidin-2-one  
 AUTHOR(S): Voss, Jürgen; Roeske, Rüdiger  
 CORPORATE SOURCE: Inst. Org. Chem., Univ. Hamburg, Hamburg, D-20146, Germany  
 SOURCE: Zeitschrift fuer Naturforschung, B: Chemical Sciences (1994), 49(5), 672-4  
 CODEN: ZNBSEN; ISSN: 0932-0776  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 121:83247  
 GI

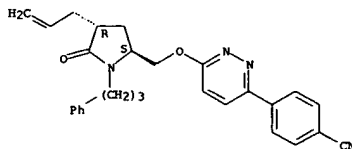


AB The title compound I is formed on reaction of octamethyldispiro[2.1.2]octa-4,8-dione (II) with hydrazine. Its structure is established by x-ray diffraction anal.  
 IT 156424-84-9P  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and crystal structure of)  
 RN 156424-84-9 CAPLUS  
 CN 2-Pyrrolidinone, 1-amino-4,4,5,5-tetramethyl-3-(1,4,5,6-tetrahydro-5,5,6,6-tetramethyl-3-pyridazinyl)- (9CI) (CA INDEX NAME)



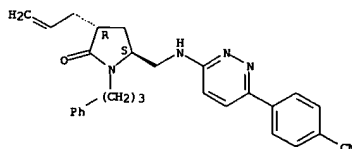
L10 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)  
 (3S,5S)-3-[(tert-butyloxycarbonyl)methyl]-5-[(methanesulfonylmethyl)-2-pyrrolidinone and the product converted in 2 steps to title compd. (3S,5S)-I which had ED50 of 0.06 µM against collagen-induced platelet aggregation in vitro.  
 IT 149354-16-5P 149354-18-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reaction of, in preparation of cell aggregation inhibitors)  
 RN 149354-16-5 CAPLUS  
 CN Benzonitrile, 4-[6-[[[5-oxo-1-(3-phenylpropyl)-4-(2-propenyl)-2-pyrrolidinyl]methoxy]-3-pyridazinyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149354-18-7 CAPLUS  
 CN Benzonitrile, 4-[6-[[[5-oxo-1-(3-phenylpropyl)-4-(2-propenyl)-2-pyrrolidinyl]methoxy]-3-pyridazinyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

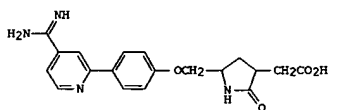


IT 149354-58-5P 149354-59-6P 149354-60-9P  
 149354-61-0P 149354-62-1P 149354-76-7P  
 149354-77-8P 149354-78-9P 149354-79-0P  
 149355-32-8P 149355-33-9P 149355-37-3P  
 149355-38-4P 149355-39-5P 149355-41-9P  
 149355-43-1P 149355-53-3P 149367-96-4P  
 149377-23-1P 149377-24-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of, as cell aggregation inhibitor)  
 RN 149354-58-5 CAPLUS

L10 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1993:517098 CAPLUS  
 DOCUMENT NUMBER: 119:117098  
 TITLE: Preparation of 2-pyrrolidinone-3-acetates and analogs as cell aggregation inhibitors  
 INVENTOR(S): Austel, Volkhard; Eisert, Wolfgang; Himmelsbach, Frank; Linz, Guenter; Mueller, Thomas; Pieper, Helmut; Weisenberger, Johannes  
 PATENT ASSIGNEE(S): Thoma, Dr. Karl, G.m.b.H., Germany  
 SOURCE: Eur. Pat. Appl., 73 pp.  
 CODEN: EPXKDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE        |
|--|------|----------|-----------------|-------------|
| EP 528369  | A2   | 19930224 | EP 1992-113877  | 19920814    |
| EP 528369  | A3   | 19930421 |                 |             |
| EP 528369  | B1   | 19991124 |                 |             |
| AT, BE, CH, DE, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE |      |          |                 |             |
| DE 4127404   | A1   | 19930225 | DE 1991-4127404 | 19910819    |
| AT 186906  | E    | 19991215 | AT 1992-113877  | 19920814    |
| CA 2076311   | AA   | 19930220 | CA 1992-2076311 | 19920818    |
| NO 9203235   | A    | 19930222 | NO 1992-3235    | 19920818    |
| AU 9221119   | A1   | 19930225 | AU 1992-21119   | 19920818    |
| AU 654372  | B2   | 19941103 |                 |             |
| JP 06025227  | A2   | 19940201 | JP 1992-219149  | 19920818    |
| ZA 9206205   | A    | 19940218 | ZA 1992-6205    | 19920818    |
| IL 102847  | A1   | 19961114 | IL 1992-102847  | 19920818    |
| US 5455348   | A    | 19951003 | US 1993-173603  | 19931223    |
| PRIORITY APPL. INFO.:                                      |      |          | DE 1991-4127404 | A 19910819  |
|  |      |          | US 1992-929870  | B1 19920814 |

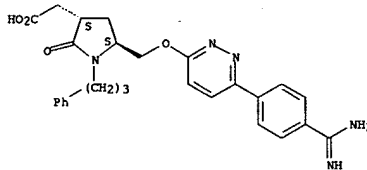
OTHER SOURCE(S): MARPAT 119:117098  
 GI



AB EYAX1X2X3X4X5B [A = (substituted) bivalent (oxo)alkyleneimino; B = NH2, C:(NH)NH2, NHC:(NH)NH2, etc.; E = CO2H, alkoxycarbonyl, etc.; X1 = bond, alkylene; X2 = bond, O, NH, SO2NH, etc.; X3, X5 = (hetero)cycloalkylene, (hetero)arylene, etc.; X4 = bond, O, CH2, CO, NH, etc.; X3X4X5 = phenylene, (CH2)3-5, etc.; Y = alkylene, NHCH2, OCH2, etc.] were prepared Thus, 4-(5-cyano-2-pyridyl)phenol (preparation given) was condensed with

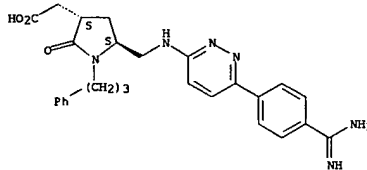
L10 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)  
 CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminoiminomethyl)phenyl]-3-pyridazinyl]oxymethyl]-2-oxo-1-(3-phenylpropyl)-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



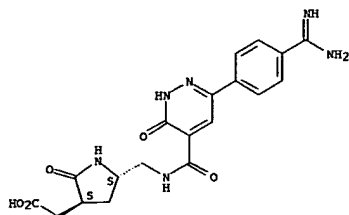
RN 149354-59-6 CAPLUS  
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Absolute stereochemistry.



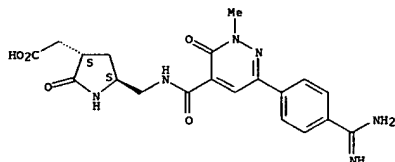
RN 149354-60-9 CAPLUS  
 CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4-pyridazinyl]carbonylamino]methyl]-2-oxo-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



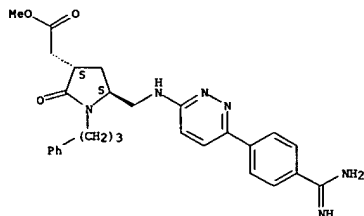
RN 149354-61-0 CAPLUS  
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminoiminomethyl)phenyl]-2,3-dihydro-2-methyl-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149354-62-1 CAPLUS  
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminoiminomethyl)phenyl]-2,3-dihydro-2-methyl-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, (3S-trans)- (9CI) (CA INDEX NAME)

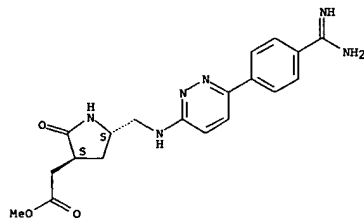
Absolute stereochemistry.



● HCl

RN 149354-78-9 CAPLUS  
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminoiminomethyl)phenyl]-3-pyridazinyl]amino]methyl]-2-oxo-, methyl ester, monohydrochloride, (3S-trans)- (9CI) (CA INDEX NAME)

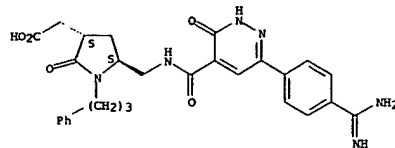
Absolute stereochemistry.



● HCl

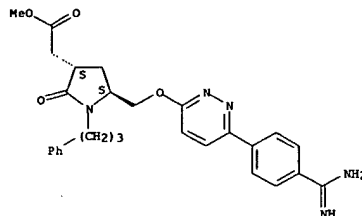
RN 149354-79-0 CAPLUS  
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminoiminomethyl)phenyl]-2,3-dihydro-2-methyl-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, monohydrochloride, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149354-76-7 CAPLUS  
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminoiminomethyl)phenyl]-3-pyridazinyl]oxy]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, monohydrochloride, (3S-trans)- (9CI) (CA INDEX NAME)

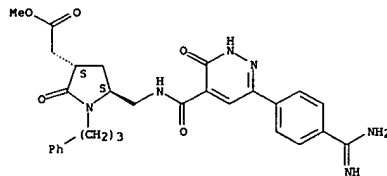
Absolute stereochemistry.



● HCl

RN 149354-77-8 CAPLUS  
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminoiminomethyl)phenyl]-3-pyridazinyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, monohydrochloride, (3S-trans)- (9CI) (CA INDEX NAME)

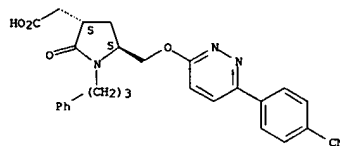
Absolute stereochemistry.



● HCl

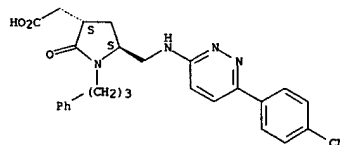
RN 149355-32-8 CAPLUS  
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(cyanophenyl)-3-pyridazinyl]oxy]methyl]-2-oxo-1-(3-phenylpropyl)-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



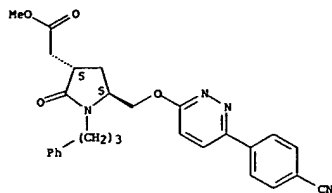
RN 149355-33-9 CAPLUS  
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(cyanophenyl)-3-pyridazinyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



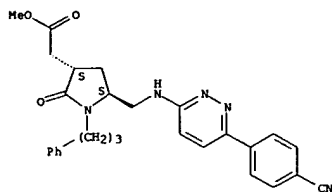
RN 149355-37-3 CAPLUS  
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(cyanophenyl)-3-pyridazinyl]oxy]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



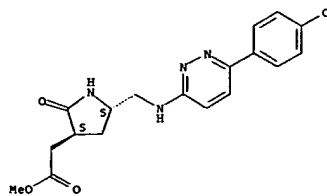
RN 149355-38-4 CAPLUS  
 CN 3-Pyrrolidineacetic acid, 5-[[[6-(4-cyanophenyl)-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



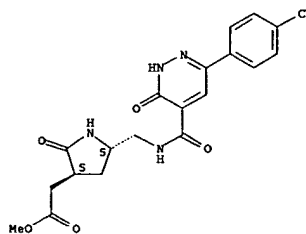
RN 149355-39-5 CAPLUS  
 CN 3-Pyrrolidineacetic acid, 5-[[[6-(4-cyanophenyl)-2,3-dihydro-2-methyl-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



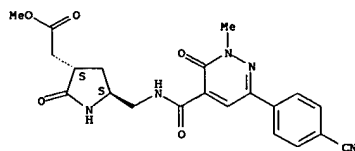
RN 149355-41-9 CAPLUS  
 CN 3-Pyrrolidineacetic acid, 5-[[[6-(4-cyanophenyl)-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



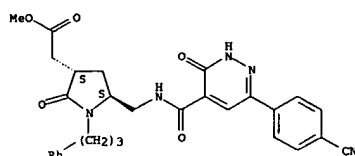
RN 149355-43-1 CAPLUS  
 CN 3-Pyrrolidineacetic acid, 5-[[[6-(4-cyanophenyl)-2,3-dihydro-2-methyl-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



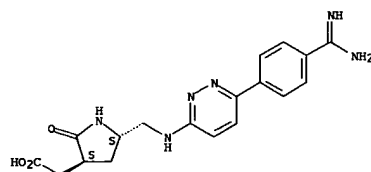
RN 149355-53-3 CAPLUS  
 CN 3-Pyrrolidineacetic acid, 5-[[[6-(4-cyanophenyl)-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149367-96-4 CAPLUS  
 CN 3-Pyrrolidineacetic acid, 5-[[[6-(4-(aminoiminomethyl)phenyl)-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

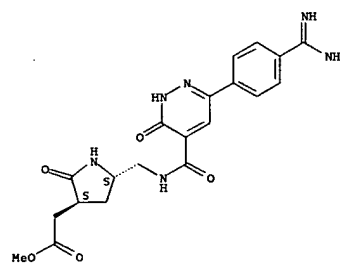
Absolute stereochemistry.



RN 149377-23-1 CAPLUS  
 CN 3-Pyrrolidineacetic acid, 5-[[[6-(4-(aminoiminomethyl)phenyl)-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

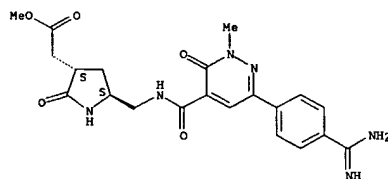


PAGE 2-A

● HCl

RN 149377-24-2 CAPLUS  
 CN 3-Pyrrolidineacetic acid, 5-[[[6-(4-(aminoiminomethyl)phenyl)-2,3-dihydro-2-methyl-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, monohydrochloride, (3S-trans)- (9CI) (CA INDEX NAME)

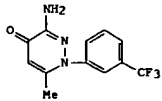
Absolute stereochemistry.



● HCl

L10 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1992:557539 CAPLUS  
 DOCUMENT NUMBER: 117:157539

TITLE: Characterization of polymorphs and solvates of 3-amino-1-(*m*-trifluoromethylphenyl)-6-methyl-1*H*-pyridazin-4-one  
 AUTHOR(S): Chauvet, Alain; Masse, Jacqueline; Ribet, Jean Paul; Bigg, Dennis; Autin, Jean Marie; Maurel, Jean Louis; Patoiseau, Jean Francois; Jaud, Joel  
 CORPORATE SOURCE: Lab. Chim. Gen. Miner., Fac. Pharm., Montpellier, 34100, Fr.  
 SOURCE: Journal of Pharmaceutical Sciences (1992), 81(8), 836-41  
 CODEN: JPMSAE; ISSN: 0022-3549  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB The characterization of two polymorphs of the title compound F-2692 (I) by DSC, NMR spectroscopy, thermogravimetry, thermomicroscopy, IR spectroscopy, and x-ray diffractometry is described. Both polymorphs are crystalline, with form being more stable at temps. <160°. The thermal behavior was studied at different rates of heating, and the enthalpies of transition were calculated from DSC data. The transformation of aqueous suspensions of form I to the water-stable form II is described, and the heats of solution and intrinsic aqueous dissoln. rates of both polymorphs were

determined. F-2692 also formed solvates with DMSO and 1-methyl-2-pyrrolidinone. The solvates were studied by thermogravimetry, DSC, and IR spectroscopy.

IT 143556-16-5P  
 RI: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and properties of)

RN 143556-16-5 CAPLUS  
 CN 4(1*H*)-Pyridazinone, 3-amino-6-methyl-1-[3-(trifluoromethyl)phenyl]-, compd. with 1-methyl-2-pyrrolidinone (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133220-91-4  
 CMF C12 H10 F3 N3 O

L10 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1987:617646 CAPLUS  
 DOCUMENT NUMBER: 107:217646

TITLE: Preparation of diazinylpiperidines as psychoanaleptic agents

INVENTOR(S): Mattson, Ronald J.; Yevich, Joseph P.  
 PATENT ASSIGNEE(S): Bristol-Myers Co., USA  
 SOURCE: Fr. Demande, 30 pp.  
 CODEN: FRXXBL

DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

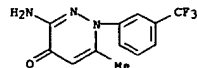
| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE       |
|------------------------|------|----------|-----------------|------------|
| FR 2584408             | A1   | 19870109 | FR 1986-9666    | 19860703   |
| FR 2584408             | B1   | 19890602 |                 |            |
| ZA 8604594             | A    | 19870225 | ZA 1986-4594    | 19860619   |
| FI 8602830             | A    | 19870109 | FI 1986-2830    | 19860703   |
| FI 88300               | B    | 19930115 |                 |            |
| FI 88300               | C    | 19930426 |                 |            |
| BE 905061              | A1   | 19870107 | BE 1986-216887  | 19860707   |
| DK 8603239             | A    | 19870109 | DK 1986-3239    | 19860707   |
| DK 170441              | B1   | 19950904 |                 |            |
| NO 8602729             | A    | 19870109 | NO 1986-2729    | 19860707   |
| NO 167389              | B    | 19910722 |                 |            |
| NO 167389              | C    | 19911030 |                 |            |
| SE 8603026             | A    | 19870109 | SE 1986-3026    | 19860707   |
| SE 462491              | B    | 19900702 |                 |            |
| SE 462491              | C    | 19901025 |                 |            |
| AU 8659787             | A1   | 19870115 | AU 1986-59787   | 19860707   |
| AU 595215              | B2   | 19900329 |                 |            |
| GB 2177692             | A1   | 19870128 | GB 1986-16504   | 19860707   |
| GB 2177692             | B2   | 19890712 |                 |            |
| NL 8601763             | A    | 19870202 | NL 1986-1763    | 19860707   |
| HU 41405               | A2   | 19870428 | HU 1986-2835    | 19860707   |
| HU 199455              | B    | 19900228 |                 |            |
| CH 671579              | A    | 19890915 | CH 1986-2740    | 19860707   |
| IL 79351               | A1   | 19900319 | IL 1986-79351   | 19860707   |
| CA 1272725             | A1   | 19900814 | CA 1986-513196  | 19860707   |
| DE 3622842             | A1   | 19870305 | DE 1986-3622842 | 19860708   |
| DE 3622842             | C2   | 19960829 |                 |            |
| JP 62070371            | A2   | 19870331 | JP 1986-160672  | 19860708   |
| JP 04005675            | B4   | 19920203 |                 |            |
| CN 86104681            | A    | 19870527 | CN 1986-104681  | 19860708   |
| CN 1012364             | B    | 19910417 |                 |            |
| ES 2000476             | A6   | 19880301 | ES 1986-190     | 19860708   |
| AT 8601852             | A    | 19920815 | AT 1986-1852    | 19860708   |
| AT 395850              | B    | 19930325 |                 |            |
| PRIORITY APPLN. INFO.: |      |          | US 1985-753006  | A 19850708 |
|                        |      |          | US 1986-868468  | A 19860530 |

GI For diagram(s), see printed CA Issue.

AB The title compds. [I: R1 = H, Cl-4 alkyl; R2 = (un)substituted pyridazinyl, pyrimidinyl, pyrazinyl; X = CH2CH2, Z = CH2; X = 1,2-C6H4, Z = CH2, CO] were prepared as psychoanaleptic agents.

1-(4-Pyridinylmethyl)-2-pyrrolidinone [prepared from 4-(chloromethyl)pyridine and 2-pyrrolidinone] was hydrogenated over Pt2O in HCl/EtOH to give the corresponding piperidine derivative which was stirred 14 h with 2,4-dichloropyrimidine in DMF containing Na2CO3 to give

L10 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



CM 2

CRN 872-50-4  
 CMF C5 H9 N O



L10 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

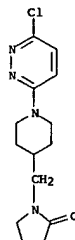
[[pyrimidinylpiperidinyl)methyl]pyrrolidinone II. At 0.5 mg/kg s.c. and orally II suppressed electroconvulsive shock-induced amnesia in mice.

IT 111247-41-7P 111247-53-1P

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as psychoanaleptic agent)

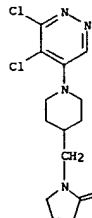
RN 111247-41-7 CAPLUS

CN 2-Pyrrolidinone, 1-[[[1-(6-chloro-3-pyridazinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



RN 111247-53-1 CAPLUS

CN 2-Pyrrolidinone, 1-[[[1-(5,6-dichloro-4-pyridazinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

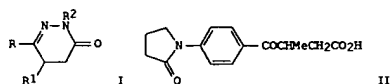


L10 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1986:497487 CAPLUS  
 DOCUMENT NUMBER: 105:97487  
 TITLE: 4,5-Dihydro-3(2H)-pyridazinones  
 INVENTOR(S): Zoller, Gerhard; Beyerle, Rudi; Just, Melitta; Bohn, Helmut; Piero, Martorana; Nitz, Rolf Eberhard  
 PATENT ASSIGNEE(S): Cassella A.-G., Fed. Rep. Ger.  
 SOURCE: Ger. Offen., 32 pp.  
 CODEN: GWXKX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.                                    | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| DE 3434680                                    | A1   | 19860403 | DE 1984-3434680 | 19840921 |
| US 4816454                                    | A    | 19890328 | US 1985-775420  | 19850912 |
| DK 8504171                                    | A    | 19860322 | DK 1985-4171    | 19850913 |
| FI 8503519                                    | A    | 19860322 | FI 1985-3519    | 19850913 |
| JP 61085367                                   | A2   | 19860430 | JP 1985-204564  | 19850918 |
| EP 175363                                     | A2   | 19860326 | EP 1985-111838  | 19850919 |
| EP 175363                                     | A3   | 19870311 |                 |          |
| EP 175363                                     | B1   | 19900117 |                 |          |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE |      |          |                 |          |
| AT 49594                                      | E    | 19900215 | AT 1985-111838  | 19850919 |
| ES 547169                                     | A1   | 19860316 | ES 1985-547169  | 19850920 |
| AU 8547661                                    | A1   | 19860410 | AU 1985-47661   | 19850920 |
| ZA 8507225                                    | A    | 19860528 | ZA 1985-7225    | 19850920 |
| HU 40646                                      | A2   | 19870128 | HU 1985-3555    | 19850920 |
| PRIORITY APPLN. INFO.:                        |      |          |                 |          |
|   |      |          | DE 1984-3434680 | A        |
|   |      |          | DE 1985-3522193 | A        |
|   |      |          | DE 1985-111838  | A        |

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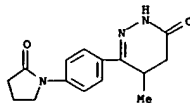


II

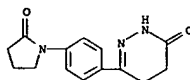
AB The title compds. [I: R = substituted Ph, (un)substituted benzoxazinyl, pyrrolyl, pyrazolyl, indolyl; R<sup>1</sup>, R<sup>2</sup> = H, alkyl] were prepared as cardiovascular agents (no data). Thus, 1-phenyl-2-pyrrolidinone underwent Friedel-Craft acylation with methylmaleic anhydride and the resulting butenoic acid derivative (69% yield) was reduced with Zn dust in HOAc to give 46% (pyrrolidinylphenyl)butanoate II. This was refluxed in EtOH with N<sub>2</sub>H<sub>4</sub>·H<sub>2</sub>O to give 81% I [R = 4-(2-oxo-1-pyrrolidinyl)phenyl, R<sup>1</sup> = Me, R<sup>2</sup> = H]. Tablets were prepared each containing I 50, lactose 150, white cornstarch 230, polyvinylpyrrolidone 15, and Mg stearate 5 mg.

IT 103876-73-9P 103876-76-2P 103876-78-4P

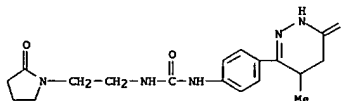
L10 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of, as cardiovascular agent)  
 RN 103876-73-9 CAPLUS  
 CN 3(2H)-Pyridazinone, 4,5-dihydro-5-methyl-6-[4-(2-oxo-1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 103876-76-2 CAPLUS  
 CN 3(2H)-Pyridazinone, 4,5-dihydro-6-[4-(2-oxo-1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 103876-78-4 CAPLUS  
 CN Urea, N-[2-(2-oxo-1-pyrrolidinyl)ethyl]-N'-[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)phenyl]- (9CI) (CA INDEX NAME)



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=> d his

(FILE 'HOME' ENTERED AT 11:03:21 ON 01 DEC 2005)

FILE 'REGISTRY' ENTERED AT 11:03:30 ON 01 DEC 2005

L1               STRUCTURE UPLOADED  
L2               STRUCTURE UPLOADED  
L3               STRUCTURE UPLOADED  
L4               0 S (L1 OR L2 OR L3) SAMPLE  
L5               0 S (L1 OR L2 OR L3) FULL  
L6               1620 S PYRIDAZ? AND PYRROLIDIN?  
L7               0 S PYRIDAZ? WITH PYRROLIDIN?  
L8               0 S PYRIDAZ? SAME PYRROLIDIN?

FILE 'CAPLUS' ENTERED AT 11:06:45 ON 01 DEC 2005

L9               523 S L6  
L10              14 S L9 AND (PYRROLIDINONE OR "OXO-PYRROLIDINYL")

=> log y